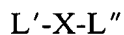


--41. A compound of the formula:



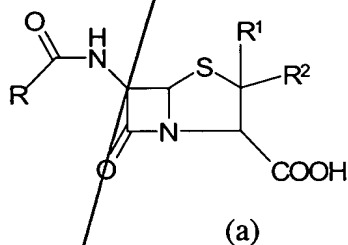
wherein X is a linker;

L' is a  $\beta$ -lactam antibiotic moiety; and

L'' is an optionally substituted glycopeptide antibiotic moiety or an aglycon derivative of an optionally substituted glycopeptide antibiotic moiety;

and further wherein the  $\beta$ -lactam antibiotic moiety is selected from the group consisting of:

(i) a moiety of formula (a):

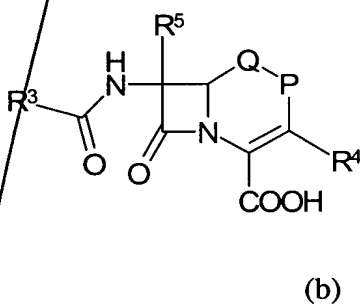


wherein:

R is selected from the group consisting of substituted alkyl, aryl, aralkyl, and heteroaryl wherein each of said substituents optionally links (a) to the linker via a covalent bond or R is a covalent bond that links (a) to the linker; and

R<sup>1</sup> and R<sup>2</sup> are, independently of each other, alkyl or at least one of R<sup>1</sup> or R<sup>2</sup> is a covalent bond linking (a) to the linker provided that only one of R, R<sup>1</sup> or R<sup>2</sup> links said moiety to said linker;

(ii) a moiety of formula (b):



wherein:

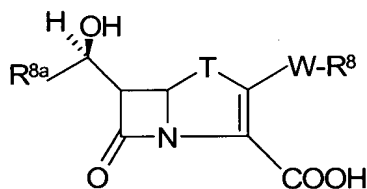
one of P and Q is O, S, or  $-\text{CH}_2-$  and the other is  $-\text{CH}_2-$ ;

$\text{R}^3$  is selected from the group consisting of substituted alkyl, heteroarylalkyl, aralkyl, heterocyclalkyl, and  $-\text{C}(\text{R}^6)=\text{NOR}^7$ , wherein  $\text{R}^6$  is aryl, heteroaryl, or substituted alkyl and  $\text{R}^7$  is alkyl or substituted alkyl and further wherein each of said substituents optionally links (b) to the linker via a covalent bond or  $\text{R}^3$  is a covalent bond that links (b) to the linker; and

$\text{R}^4$  is selected from the group consisting of hydrogen, alkyl, alkenyl, substituted alkenyl, substituted alkyl, halo, heteroarylalkyl, heterocyclalkyl,  $-\text{SR}^a$  and  $-\text{CH}_2\text{SR}^a$ , where  $\text{R}^a$  is aryl, heteroaryl, heterocycl or cycloalkyl wherein each of said substituents optionally links (b) to the linker or  $\text{R}^4$  is a covalent bond that links (b) to the linker provided that only one of said  $\text{R}^3$  substituents or covalent bond and  $\text{R}^4$  substituents or covalent bond links said moiety to said linker; and

$\text{R}^5$  is selected from the group consisting of hydrogen, hydroxy, and alkoxy;

(iii) a moiety of formula (c):



(c)

wherein:

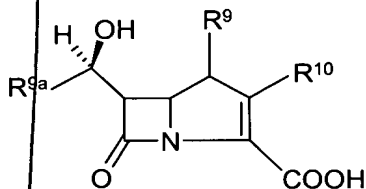
$\text{T}$  is S or  $\text{CH}_2$ ,

$\text{R}^{8a}$  is alkyl;

$\text{W}$  is selected from the group consisting of O, S,  $-\text{OCH}_2-$ , and  $\text{CH}_2$ ; and

$\text{R}^8$  is  $-(\text{alkylene})-\text{NHC}(\text{R}^b)=\text{NH}$  where  $\text{R}^b$  is a covalent bond that links (c) to the linker; or  $-\text{W}-\text{R}^8$  is a covalent bond that links (c) to the linker provided that only one of  $\text{R}^b$  or  $-\text{W}-\text{R}^8$  binds said moiety to said linker;

(iv) a moiety of formula (d):



(d)

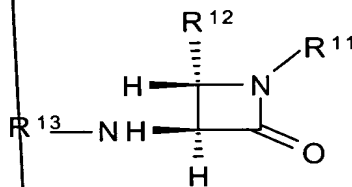
wherein:

R<sup>9</sup> and R<sup>9a</sup> are alkyl;

710 cont  
R<sup>10</sup> is selected from the group consisting of hydrogen, alkyl, substituted alkyl, halo, aryl, heteroaryl, heterocyclyl, aralkyl, heteroaralkyl, heterocyclalkyl and -CH<sub>2</sub>SR<sup>a</sup>, where R<sup>a</sup> is aryl, heteroaryl, heterocyclyl or cycloalkyl wherein each of said substituents optionally links (d) to the linker or at least one of R<sup>9</sup> and R<sup>10</sup> is a covalent bond that links (d) to the linker; or

R<sup>9</sup> and R<sup>10</sup>, together with the carbon atoms to which they are attached, form an aryl, heteroaryl, cycloalkyl, substituted cycloalkyl, or heterocyclyl ring of from 4 to 7 ring atoms wherein one of the ring atoms optionally links (d) to the linker provided that only one of said substituents, ring atoms, R<sup>9</sup> or R<sup>10</sup> links said moiety to said linker; and

(v) a moiety of formula (e):



(e)

wherein:

R<sup>11</sup> is selected from the group consisting of -SO<sub>3</sub>H or -(alkylene)-COOH;

R<sup>12</sup> is selected from the group consisting of alkyl, substituted alkyl, haloalkyl, alkoxy, aryl, aralkyl, heteroaryl, heteroaralkyl, cycloalkyl, substituted cycloalkyl, and heterocyclyl

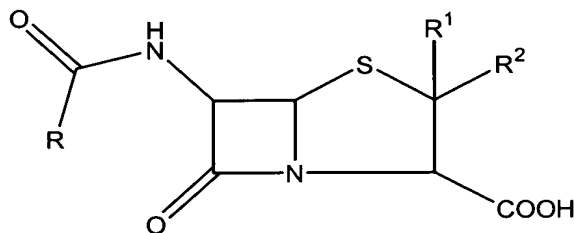
wherein each of said substituents optionally binds (e) to the linker or  $R^{12}$  is a covalent bond that links (e) to the linker;

$R^{13}$  is selected from the group consisting of alkyl, acyl, or  $-\text{COC}(R^{14})=\text{N}-\text{OR}^{15}$  wherein  $R^{14}$  is aryl or heteroaryl which optionally links (e) to the linker, and  $R^{15}$  is  $-(\text{alkylene})-\text{COOR}^{16}$  wherein  $R^{16}$  is hydrogen or a covalent bond that optionally links (e) to the linker or  $R^{13}$  is a covalent bond that links (e) to the linker provided that only one of  $R^{12}$ ,  $R^{13}$ ,  $R^{14}$  or  $R^{15}$  links said moiety to said linker;

and pharmaceutically acceptable salts thereof

provided that when  $L''$  is a vancomycin moiety attached via its carboxyl group to the linker, X, then the  $\beta$ -lactam antibiotic moiety,  $L'$ , is not a cefalexin moiety attached to the linker, X, via acylation of its  $\alpha$ -amino group.

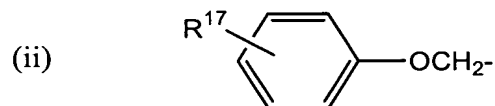
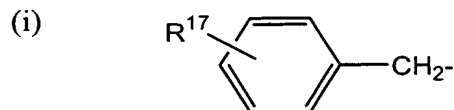
42. The compound of Claim 41, wherein the  $\beta$ -lactam moiety has the formula:

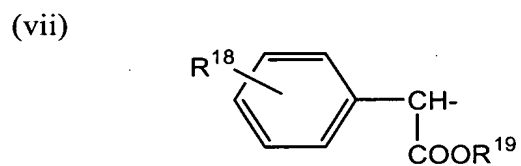
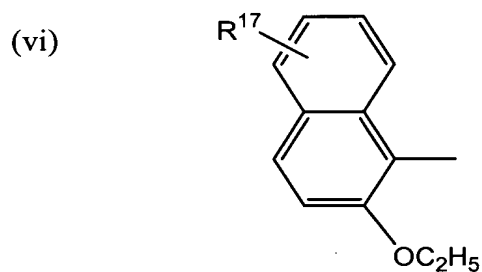
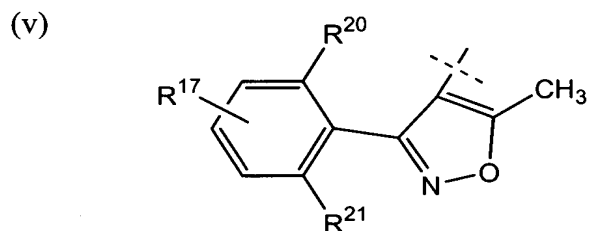
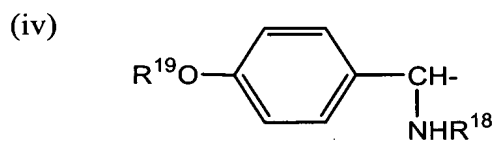
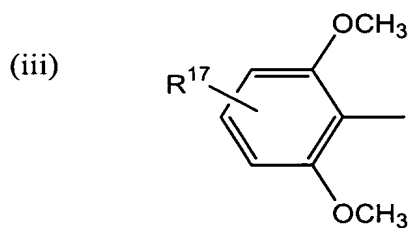


wherein:

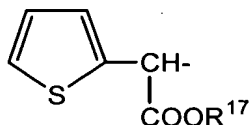
$R^1$  and  $R^2$  are methyl; and

R is selected from the group consisting of:

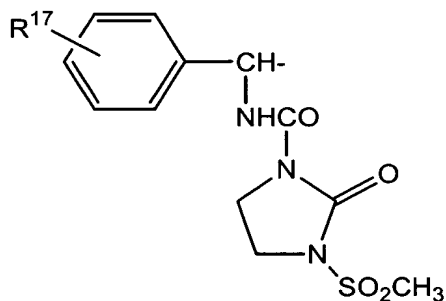




(viii)

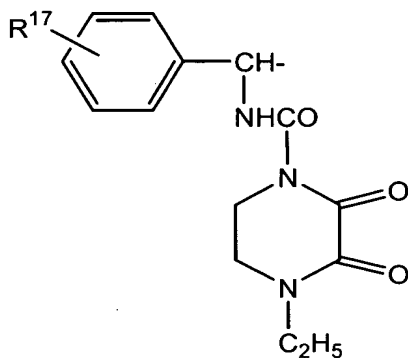


(ix)



and

(x)



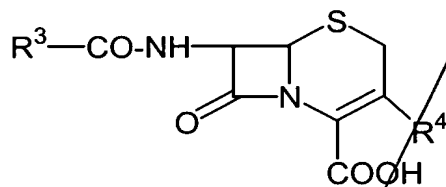
wherein:

$\text{R}^{17}$  is a covalent bond that links the  $\beta$ -lactam moiety to a linker;

one of  $\text{R}^{18}$  and  $\text{R}^{19}$  is hydrogen and the other is a covalent bond that links the  $\beta$ -lactam moiety to a linker; and

$\text{R}^{20}$  and  $\text{R}^{21}$  are independently selected from the group consisting of hydrogen and chloro.

43. The compound of Claim 41, wherein the  $\beta$ -lactam moiety has the formula:

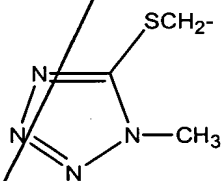
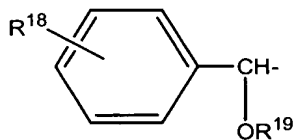


where:

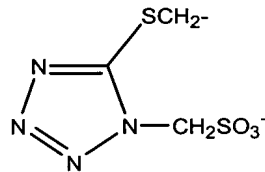
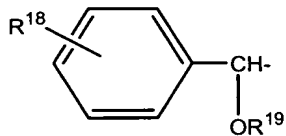
$R^3$  and  $R^4$  are selected from the group consisting of:

- |       | $R^3$                                    | $R^4$                           |
|-------|--|---------------------------------|
| (i)   | <p><chem>R^17-c1cc(C)sc1</chem></p>      | $-\text{CH}_2\text{OCOCH}_3$    |
| (ii)  | <p><chem>R^17-n1cnc(C)n1</chem></p>      | <p><chem>Cc1nn(C)sc1</chem></p> |
| (iii) | <p><chem>R^18-c1ccc(CN^19)cc1</chem></p> | $-\text{CH}_3$                  |
| (iv)  | <p><chem>R^18Oc1ccc(CN^19)cc1</chem></p> | $-\text{CH}_3$                  |

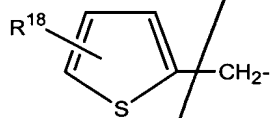
(v)



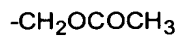
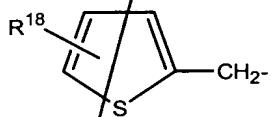
(vi)



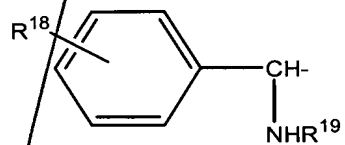
(vii)



(viii)

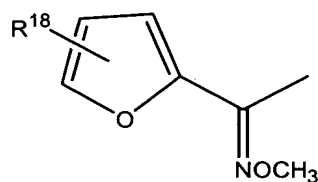


(ix)

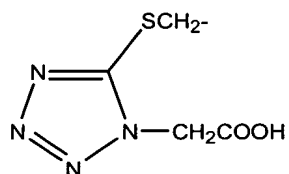
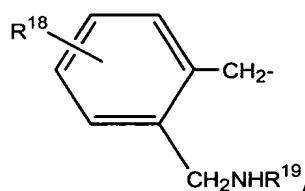




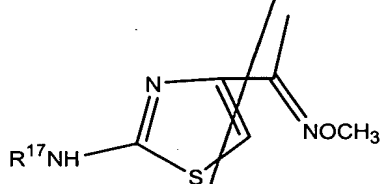
(x)



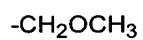
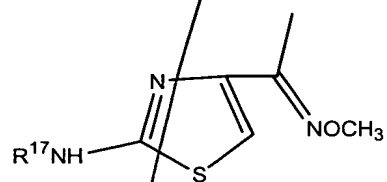
(xi)



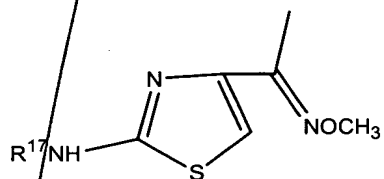
(xii)



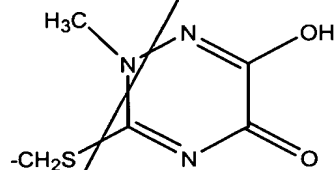
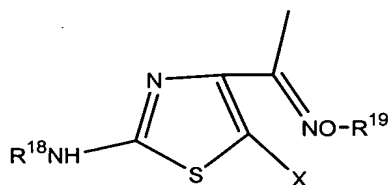
(xiii)



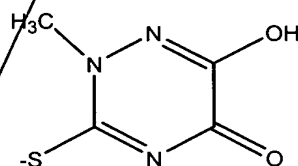
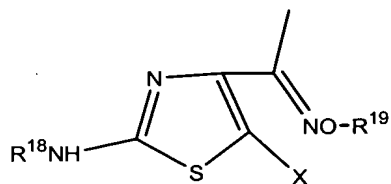
(xiv)



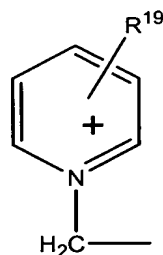
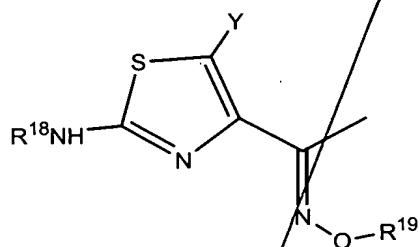
(xv)



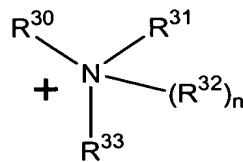
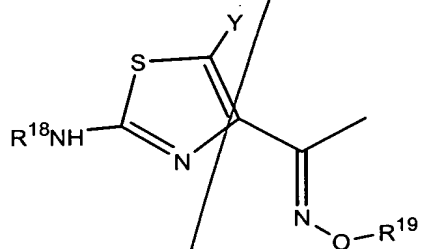
(xvi)



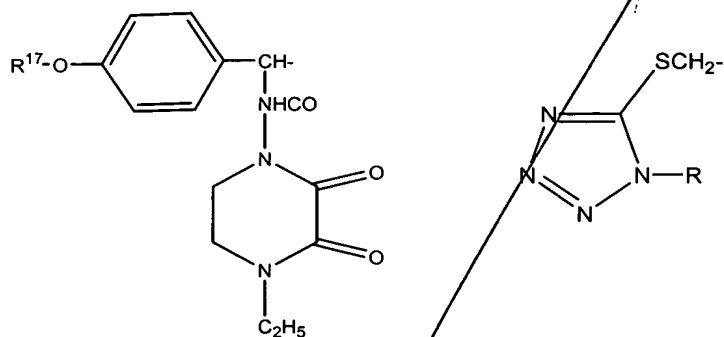
(xvii)



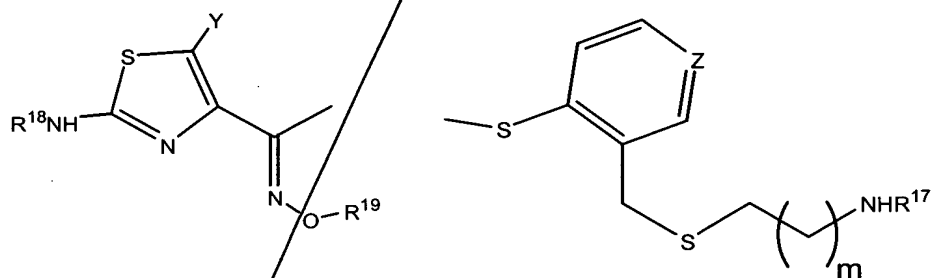
(xviii)



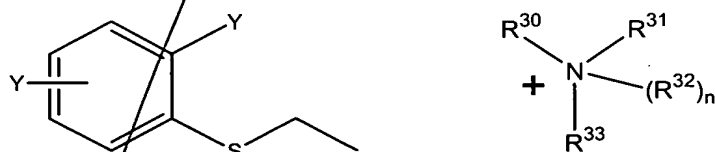
(xix)



(xx)



(xi)



wherein:

$R$  is alkyl;

$R^{17}$  is a covalent bond that links the  $\beta$ -lactam moiety to a linker;

one of  $R^{18}$  and  $R^{19}$  is hydrogen or alkyl;

$R^{30}$  and  $R^{31}$  are, independently of each other, hydrogen or alkyl; or together with the nitrogen atom to which they are attached form a heterocycloamino group;

$R^{32}$  and  $R^{33}$  are independently alkyl;

X is halo;

Y is hydrogen or halo;

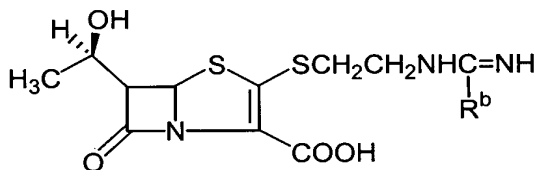
Z is CH or N;

$m$  is an integer from 1 to 5;

$n$  is 0 or 1;

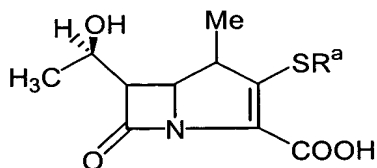
and further wherein one of  $R^{18}$ ,  $R^{19}$ ,  $R^{30}$ ,  $R^{31}$ ,  $R^{32}$  and  $R^{33}$  is a covalent bond that links the  $\beta$ -lactam moiety to the linker.

44. The compound of Claim 41, wherein the  $\beta$ -lactam moiety has the formula:



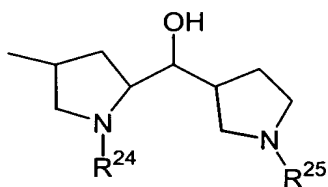
wherein  $R^b$  is a covalent bond linking the  $\beta$ -lactam moiety to the linker.

45 The compound of Claim 41, wherein the  $\beta$ -lactam moiety has the formula:

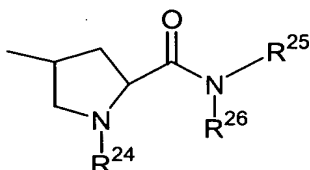


wherein R<sup>a</sup> is selected from the group consisting of:

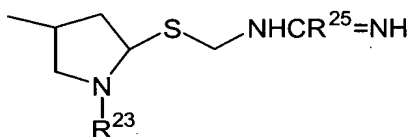
(i)



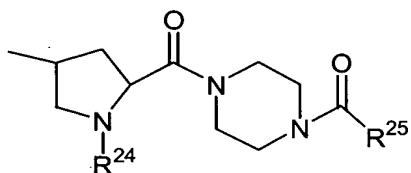
(ii)



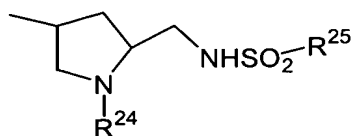
(iii)



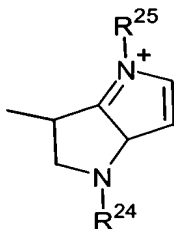
(iv)



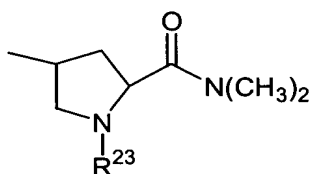
(v)



(vi)



(vii)



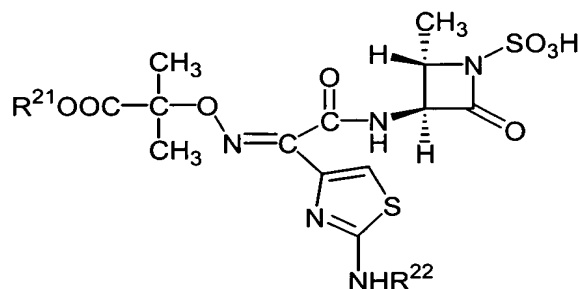
wherein:

$R^{23}$  is a covalent bond that links the  $\beta$ -lactam moiety to the linker;

one of  $R^{24}$  and  $R^{25}$  is hydrogen, alkyl, substituted alkyl, or aralkyl, and the other is a covalent bond that links the  $\beta$ -lactam moiety to the linker; and

$R^{26}$  is alkyl.

46 The compound of Claim 41, wherein the  $\beta$ -lactam moiety has the formula:



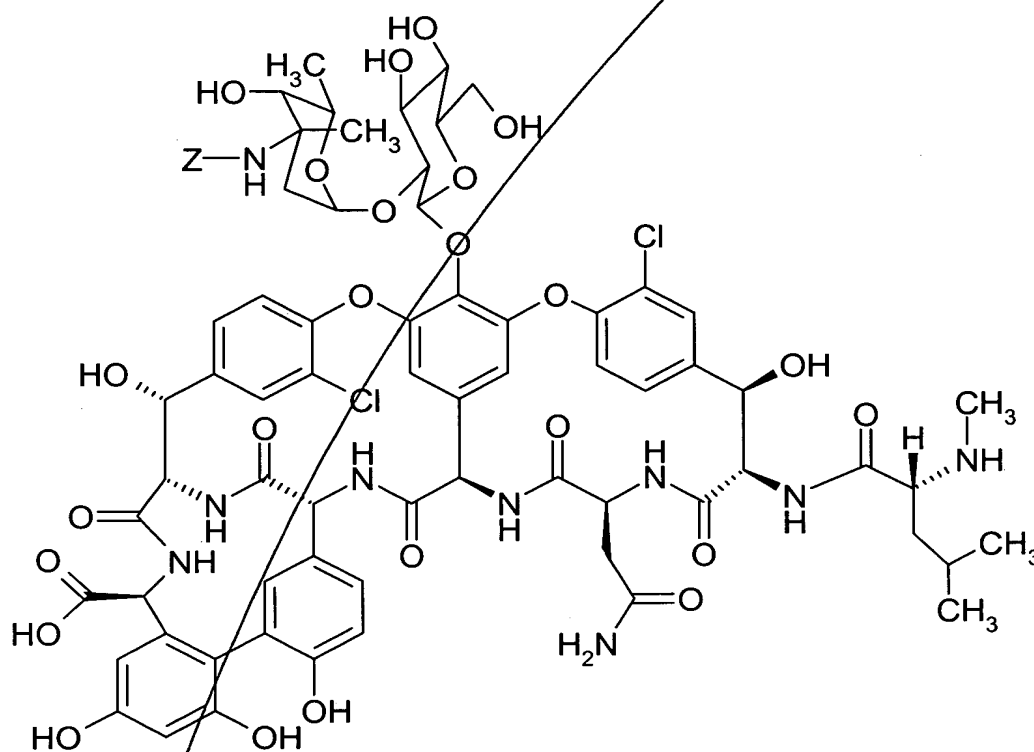
wherein one of  $R^{21}$  and  $R^{22}$  is hydrogen and the other links the  $\beta$ -lactam moiety to the linker.

Q<sup>10</sup> cont

47. The compound according to Claim 41 wherein L" is a moiety selected from the group consisting of Actaplanin, Actinodidin, Ardacin, Avoparcin, Azureomycin, A477, A35512, A40926, A41030, A42867, A47934, A80407, A82846, A83850, A84575, A84428, AB-65, Balhimycin, Chloroeremomycin, Chloroorienticin, Chloropolysporin, Decaplanin, N-demethylvancomycin, Eremomycin, Galacardin, Helvecardin, Izupeptin, Kibdelin, LL-AM374, Mannopeptin, MM45289, MM47756, MM47761, MM47921, MM47766, MM55260, MM55266, MM55270, MM56579, MM56598, OA-7653, Oreenticin, Parvodicin, Ristocetin, Ristomycin, Synmonicin, Teicoplanin, UK-68597, UK-69542, UK-72051, Vancomycin, and aglycone derivatives thereof.

48. The compound according to Claim 47 wherein L" is a moiety selected from the group consisting of chloroeremomycin, chloroorienticin, vancomycin and aglycon derivatives thereof.

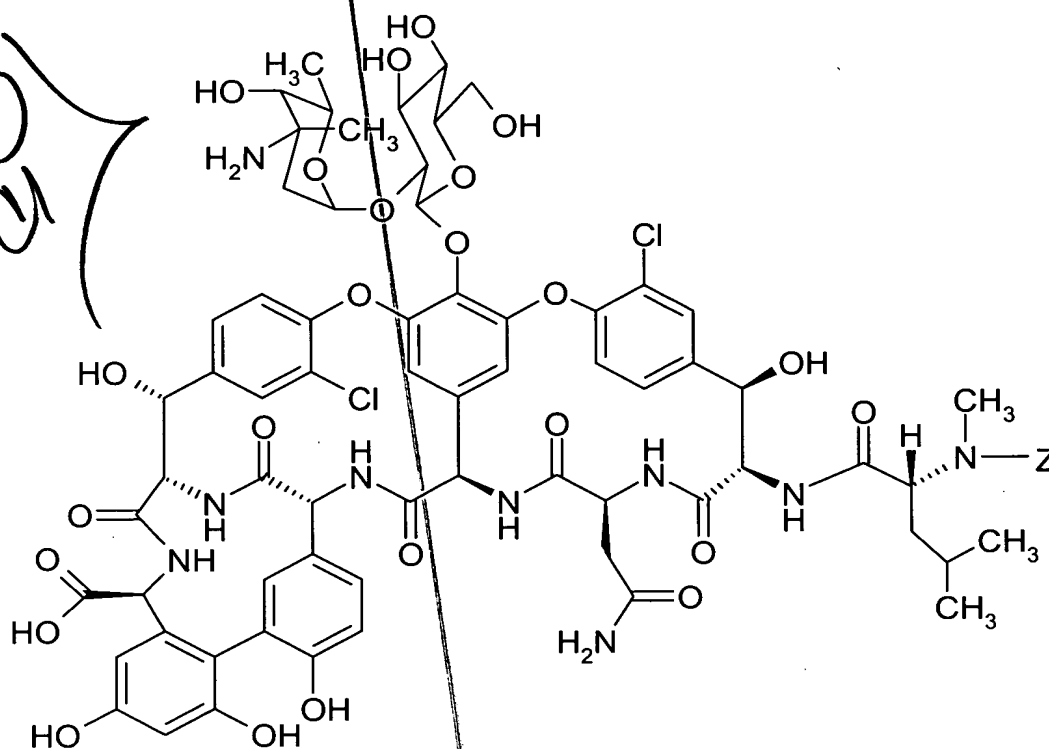
49. The compound according to Claim 48 wherein L" is a vancomycin moiety represented by the formula:



wherein Z is the point of linkage for the vancomycin moiety to the linker moiety X.

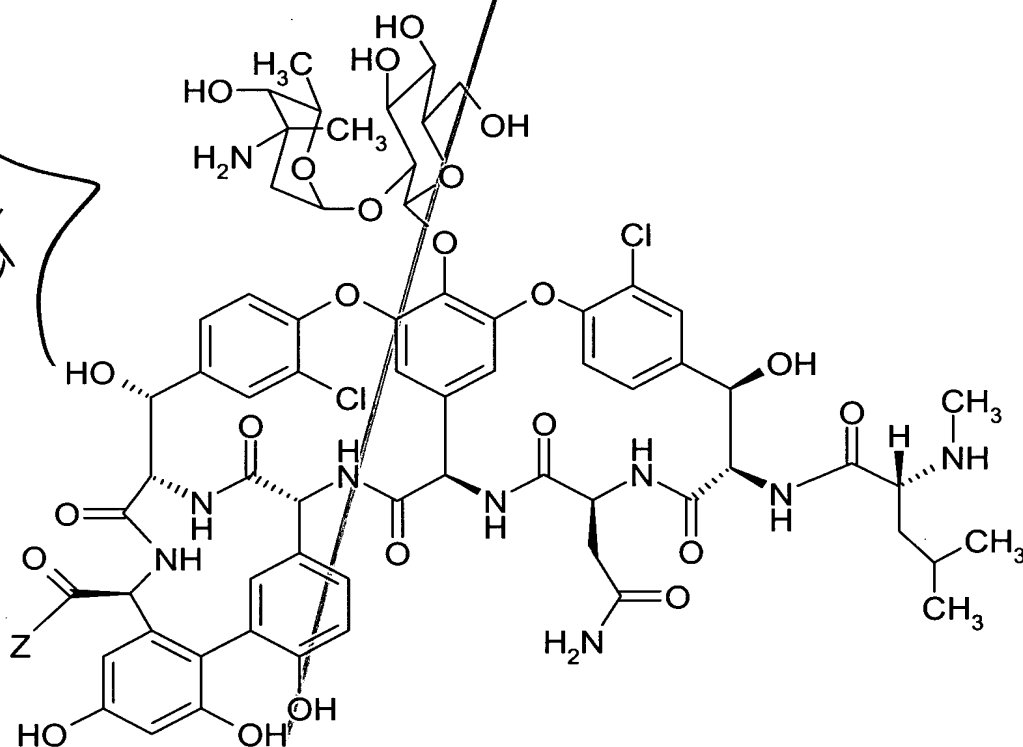


50. The compound according to Claim 48 wherein L" is a vancomycin moiety represented by the formula:



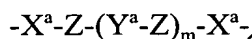
wherein Z is the point of linkage for the vancomycin moiety to the linker moiety X.

51. The compound according to Claim 48 wherein L" is a vancomycin moiety represented by the formula:



wherein Z is the point of linkage for the vancomycin moiety to the linker moiety X.

52. The compound according to Claim 41 wherein X is represented by the formula:



wherein

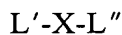
*m* is an integer of from 0 to 20;

$X^a$  at each separate occurrence is selected from the group consisting of -O-, -S-, -NR-, -C(O)-, -C(O)O-, -OC(O)-, -C(O)NR-, -NRC(O)-, C(S), -C(S)O-, -C(S)NR-, -NRC(S)-, and a covalent bond where R is as defined below;

Z at each separate occurrence is selected from the group consisting of alkylene, substituted alkylene, cycloalkylene, substituted cycloalkylene, alkenylene, substituted alkenylene, alkynylene, substituted alkynylene, cycloalkenylene, substituted cycloalkenylene, arylene, heteroarylene, heterocyclene, and a covalent bond;

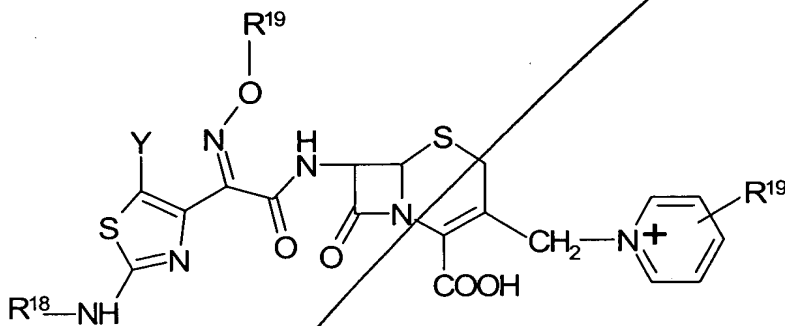
*A<sup>10</sup> cont.*  
each  $Y^a$  at each separate occurrence is selected from the group consisting of -O-, -C(O)-, -OC(O)-, -C(O)O-, -NR-, -S(O)<sub>n</sub>-, -C(O)NR'-, -NR'C(O)-, -NR'C(O)NR'-, -NR'C(S)NR'-, -C(=NR')-NR'-, -NR'-C(=NR')-, -OC(O)-NR'-, -NR'-C(O)-O-, -P(O)(OR')-O-, -O-P(O)(OR')-, -S(O)<sub>n</sub>CR'R''-, -S(O)<sub>n</sub>-NR'-, -NR'-S(O)<sub>n</sub>-, -S-S-, and a covalent bond; where *n* is 0, 1 or 2; and R, R' and R'' at each separate occurrence are selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, alkenyl, substituted alkenyl, cycloalkenyl, substituted cycloalkenyl, alkynyl, substituted alkynyl, aryl, heteroaryl and heterocyclic.

*Sub*  
*pe*  
53. A compound of the formula:



wherein X is a linker;

L' is a  $\beta$ -lactam antibiotic moiety of the formula:



wherein Y is selected from the group consisting of hydrogen and halogen; R<sup>18</sup> and R<sup>19</sup> are selected from the group consisting of hydrogen or alkyl provided that one of R<sup>18</sup> and R<sup>19</sup> is a covalent bond which links the β-lactam antibiotic moiety to the linker; and L'' is a vancomycin antibiotic moiety.

54. The compound according to Claim 53 wherein Y is halogen.
55. A pharmaceutical composition comprising a pharmaceutically acceptable carrier and an effective amount of a compound of any of Claims 41-54.
56. A method for treating bacterial diseases in a mammal, said method comprising administering to said mammal a therapeutically effective amount of a pharmaceutical composition comprising a pharmaceutically acceptable carrier and an effective amount of a compound of any of Claims 41-54.